

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
13 May 2004 (13.05.2004)

PCT

(10) International Publication Number
WO 2004/039807 A1

- (51) International Patent Classification⁷: **C07D 471/14**, A61K 31/4375, A61P 29/00, 11/00
- (74) Agent: **OGILVY RENAULT**; Attn: Murphy, Kevin, P., Suite 1600, 1981 McGill College Avenue, Montreal, Québec H3A 2Y3 (CA).
- (21) International Application Number: PCT/CA2003/001658
- (22) International Filing Date: 28 October 2003 (28.10.2003)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
60/422,443 30 October 2002 (30.10.2002) US
60/482,626 26 June 2003 (26.06.2003) US
- (81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
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- Published:**
— with international search report
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

(54) Title: PYRIDOPYRROLIZINE AND PYRIDOINDOLIZINE DERIVATIVES

(57) Abstract: Pyridopyrrolizine and pyridoindolizine derivatives are prostaglandin receptor antagonists useful for the treatment of prostaglandin-mediated diseases such as allergic rhinitis, nasal congestion and asthma.

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INTERNATIONAL SEARCH REPORT

International Publication No

PCT/ 03/01658

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D471/14 A61K31/4375 A61P29/00 A61P11/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 5 128 364 A (GIRARD YVES ET AL) 7 July 1992 (1992-07-07) abstract; claims	1,21,22, 27
A	EP 0 441 517 A (PFIZER) 14 August 1991 (1991-08-14) claims 1-8	1,21,27
A	EP 0 166 591 A (MERCK FROSST CANADA INC) 2 January 1986 (1986-01-02) claims 1,7-10	1,21,22, 27
A	US 4 808 608 A (YOAKIM CHRISTIANE ET AL) 28 February 1989 (1989-02-28) claims 1,10-12	1,21,22, 27
	-/-	

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

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A document defining the general state of the art which is not considered to be of particular relevance

E earlier document but published on or after the international filing date

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O document referring to an oral disclosure, use, exhibition or other means

P document published prior to the international filing date but later than the priority date claimed

T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

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& document member of the same patent family

Date of the actual completion of the international search

11 February 2004

Date of mailing of the international search report

23/02/2004

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/03/01658

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 98 25919 A (HIRAMATSU YOSHIHARU; SHIONOGI & CO (JP); ARIMURA AKINORI (JP); HON) 18 June 1998 (1998-06-18) cited in the application claims	1,21-30
A	WO 99 62555 A (SHIONOGI & CO; ARIMURA AKINORI (JP)) 9 December 1999 (1999-12-09) cited in the application abstract	1,21-23, 27-30
A,P	WO 02 094830 A (MERCK FROSST CANADA INC; GUAY DANIEL (CA); DUFRESNE CLAUDE (CA); L) 28 November 2002 (2002-11-28) claims	1-30

INTERNATIONAL SEARCH REPORT

International Application No.
PCT/CA 03/01658

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claims 23-26 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

information on patent family members

International Application No

PCT 03/01658

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
US 5128364	A	07-07-1992	CA 2063955 A1	29-09-1992
EP 0441517	A	14-08-1991	AU 631723 B2	03-12-1992
			AU 7087991 A	29-08-1991
			BR 9100519 A	29-10-1991
			CA 2035805 C	27-06-1995
			CN 1054600 A	18-09-1991
			CS 9100299 A2	15-09-1991
			DE 9101348 U1	06-06-1991
			EG 19644 A	30-08-1995
			EP 0441517 A2	14-08-1991
			FI 910592 A	09-08-1991
			HU 70051 A2	28-09-1995
			IE 910402 A1	14-08-1991
			IL 97140 A	31-07-1995
			JP 4234845 A	24-08-1992
			JP 7119214 B	20-12-1995
			KR 9513562 B1	09-11-1995
			NO 910473 A ,B,	09-08-1991
			NZ 237040 A	26-10-1993
			PL 288977 A1	13-01-1992
			PL 167078 B1	31-07-1995
			PT 96681 A	31-10-1991
			US 5428043 A	27-06-1995
			ZA 9100916 A	30-09-1992
EP 0166591	A	02-01-1986	AU 4377685 A	02-01-1986
			CA 1241660 A1	06-09-1988
			CA 1288352 C2	03-09-1991
			DE 3574372 D1	28-12-1989
			DK 284485 A	24-02-1986
			EP 0166591 A2	02-01-1986
			ES 8609245 A1	16-12-1986
			GR 851494 A1	25-11-1985
			IL 75586 A	30-09-1988
			JP 61063653 A	01-04-1986
			PT 80698 A ,B	01-07-1985
			ZA 8504762 A	26-02-1986
US 4808608	A	28-02-1989	AU 611454 B2	13-06-1991
			AU 1926288 A	27-01-1989
			DK 404588 A	16-03-1989
			EP 0300676 A2	25-01-1989
			JP 1070462 A	15-03-1989
			NZ 225361 A	25-06-1991
			PT 88044 A ,B	30-06-1989
			US 5021447 A	04-06-1991
			ZA 8805209 A	29-03-1989
			CA 1305485 C	21-07-1992
			AT 61047 T	15-03-1991
			AU 592615 B2	18-01-1990
			AU 6790887 A	30-07-1987
			DE 3768097 D1	04-04-1991
			DK 34287 A	24-07-1987
			EP 0234708 A1	02-09-1987
			ES 2038653 T3	01-08-1993
			GR 3001828 T3	23-11-1992
			IE 59861 B1	20-04-1994

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/03/01658

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
US 4808608	A		IL 81325 A JP 62223169 A NZ 218999 A PT 84178 A ,B ZA 8700468 A	29-11-1990 01-10-1987 21-12-1990 01-02-1987 26-08-1987
WO 9825919	A	18-06-1998	AT 223911 T AU 718958 B2 AU 5409798 A BR 9714016 A CN 1445225 A CN 1240438 A ,B CZ 9902065 A3 DE 69715448 D1 DE 69715448 T2 DK 944614 T3 EP 0944614 A1 ES 2181039 T3 HK 1020045 A1 HU 0002869 A2 ID 22753 A IL 130660 A IL 145863 A IL 145864 A IL 145865 A WO 9825919 A1 JP 3215441 B2 JP 2000514824 T NO 992838 A NZ 336143 A PL 334072 A1 PT 944614 T RU 2161617 C1 TR 9901280 T2 TW 438790 B US 6083974 A ZA 9711039 A	15-09-2002 04-05-2000 03-07-1998 29-02-2000 01-10-2003 05-01-2000 17-11-1999 17-10-2002 07-08-2003 13-01-2003 29-09-1999 16-02-2003 13-12-2002 28-06-2001 09-12-1999 10-03-2002 24-06-2003 24-06-2003 31-07-2003 18-06-1998 09-10-2001 07-11-2000 20-07-1999 31-05-2002 31-01-2000 31-01-2003 10-01-2001 21-10-1999 07-06-2001 04-07-2000 15-06-1998
WO 9962555	A	09-12-1999	AU 3955199 A CA 2333868 A1 CN 1304320 T EP 1084711 A1 WO 9962555 A1 US 2003027854 A1 US 2002058693 A1	20-12-1999 09-12-1999 18-07-2001 21-03-2001 09-12-1999 06-02-2003 16-05-2002
WO 02094830	A	28-11-2002	WO 02094830 A2	28-11-2002